15

20





1. A method of inhibiting the growth of tumor cells in a tumor site of a subject, comprising administering to the tumor site an effective amount of an oligoaniline having the following formula:

 $W = \left( \begin{array}{c} A \\ N \end{array} \right) \left( \begin{array}{c} X \\ M \end{array} \right) \left( \begin{array}{c}$ 

wherein

m is an integer of 1-6;

n is an integer of 1-10;

each A is -H, -Z, -CH<sub>2</sub>-CØ-OH, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, -CH<sub>2</sub>-CO-NH<sub>2</sub>, or -CH<sub>2</sub>-CO-NH-Z; and each X is H, -O-Z, -S-Z, -NH-Z; Z being -E-D, wherein E is -R-, -R-Ar-, -Ar-R-, or -Ar-; and D is -OH, -SH, -NH<sub>2</sub>, -NHOH, -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -CONH<sub>2</sub>, -CH(NH<sub>2</sub>)-CO<sub>2</sub>H, -P(OH)<sub>3</sub>, -PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, -O-PO(OH)-O-PO(OH)<sub>2</sub>, -O-PO(O')-O-CH<sub>2</sub>CH<sub>2</sub>NH<sub>3</sub><sup>+</sup>, -glycoside, -OCH<sub>3</sub>, -OCH<sub>2</sub>(CHOH)<sub>4</sub>-CH<sub>2</sub>OH, -OCH<sub>2</sub>(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, -C<sub>6</sub>H<sub>3</sub>(OH)<sub>2</sub>, -NH<sub>3</sub><sup>+</sup>, -N<sup>+</sup>H<sub>2</sub>R<sub>b</sub>, -N<sup>+</sup>HR<sub>b</sub>R<sub>c</sub>, or -N<sup>+</sup>R<sub>b</sub>R<sub>c</sub>R<sub>d</sub>, each of R, R<sub>b</sub>, R<sub>c</sub>, and R<sub>d</sub>, independently, being C<sub>1-30</sub> alkyl; and Ar being aryl;

W is -H, -CO-B, -CH<sub>2</sub>CH(OH)-B, -CO-NH-B, -CS-NH-B, -CO-O-B, CO-CH<sub>2</sub>-CH(CO<sub>2</sub>H)-B, -CH<sub>2</sub>-B -SO<sub>2</sub>-B, wherein B is -R<sub>1</sub>-O-[Si(CH<sub>3</sub>)<sub>2</sub>-O-]<sub>1-100</sub>, C<sub>1-2000</sub> alkyl, C<sub>6-40</sub> aryl, C<sub>7-60</sub> arylalkyl, (C<sub>1-30</sub> alkyl ether)<sub>1-100</sub>, (C<sub>6-40</sub> aryl ether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, (C<sub>1-30</sub> alkyl thioether)<sub>1-100</sub>, (C<sub>6-40</sub> aryl thioether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ester)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ester)<sub>1-100</sub>, (C<sub>8-70</sub> alkylaryl ester)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>7-60</sub> arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, (C<sub>4-50</sub> alkyl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> alkylaryl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urea)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>9-60</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>9-60</sub>

20

alkylaryl anhydride)<sub>1-100</sub>, (C<sub>9-60</sub> arylalkyl anhydride)<sub>1-100</sub>, (C<sub>2-30</sub> alkyl carbonate)<sub>1-100</sub>,  $(C_{7-50} \text{ aryl carbonate})_{1-100}$ ,  $(C_{8-60} \text{ alkylaryl carbonate})_{1-100}$ ,  $(C_{8-60} \text{ arylalkyl carbonate})_{1-100}$ ,  $-R_1$ -O-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O-( $C_{1-30}$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$ alkylaryl ether, or C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, -R<sub>1</sub>-O-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O- $(C_{2-50}$  alkyl ester,  $C_{7-60}$  aryl ester,  $\mathcal{C}_{8-70}$  alkylaryl ester, or  $C_{8-70}$  arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-O-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-C $\not$ 0-O-( $C_{1-30}$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$  arylalkyl ether)<sub>1-1</sub>/ $b_0$ -CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O-, - $R_1$ -O-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-( $q_{2-50}$  alkyl ester, C<sub>7-60</sub> aryl ester, C<sub>8-70</sub> alkylaryl ester, or  $C_{8-70}$  arylalkyl ester)<sub>1-100</sub>- $R_3$ -Q-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O-, - $R_1$ -NH-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O- $(C_{1-30})$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$  arylalkyl ether)<sub>1-100</sub>,  $-R_1$ -NH-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-( $C_{2-50}$  alkyl ester, C<sub>7-60</sub> aryl ester, C<sub>8-70</sub> alkylaryl ester, or C<sub>8-70</sub> arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-NH-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-( $C_1$ / $g_0$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$ arylalkyl ether)<sub>1-100</sub>-CO-MH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-NH-CO-NH-(R<sub>2</sub> or Ar- $R_2$ -Ar)-NH-CO-O-( $C_{2-50}$ /alkyl ester,  $C_{7-60}$  aryl ester,  $C_{8-70}$  alkylaryl ester, or  $C_{8-70}$ arylalkyl ester)<sub>1-100</sub>-R<sub>3</sub>O-CO-NH-(R<sub>2</sub> or Ar--R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-O-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-NH-(C<sub>2-50</sub> alkyl amide, C<sub>7-60</sub> aryl amide, C<sub>8-70</sub> alkylaryl amide, or C<sub>8-70</sub> arylalkyl amide)<sub>1</sub>[100, or -R<sub>1</sub>-NH-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-NH-(C<sub>2-50</sub> alkyl amide,  $C_{7-60}$  aryl amide,  $C_{8-70}$  alkylaryl amide, or  $C_{8-70}$  arylalkyl amide)<sub>1-100</sub>; wherein each of  $R_1$ ,  $R_2$ , and  $R_3$ , independently, is  $C_{1-30}$  alkyl; and Ar is aryl;

K is -H,  $-[N(X)-C_6H_4]_{1-3}$ -NH<sub>2</sub>,  $-[N(X)-C_6H_4]_{1-3}$ -NH-C(=S)-SH,  $-[N(X)-C_6H_4]_{1-3}$ -N=CH-Ar-SH, or  $-[N(X)-C_6H_4]_{1-3}$ -NH-CO-Ar-SH, wherein X is -H, -Z, -CH<sub>2</sub>-CO-OH, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, -CH<sub>2</sub>-CO-NH<sub>2</sub> or -CH<sub>2</sub>-CO-NH-Z; and Ar is aryl; and subsequently exposing the tumor site to irradiation.

25

2. The method of claim 1, wherein A is -Z, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, or -CH<sub>2</sub>-CO-NH-Z; wherein E is -R- or -R-Ar-; and D is -OH, -SH, -NH<sub>2</sub>, -NHOH, -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -CONH<sub>2</sub> -CH(NH<sub>2</sub>)-CO<sub>2</sub>H, -P(OH)<sub>3</sub>, -PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, -O-PO(OH)-O-PO(OH)<sub>2</sub>, or -NH<sub>3</sub><sup>+</sup>.

30

3. The method of claim 1, wherein m is an integer of 2-6.

20

25

5

- 4. The method of claim 1, wherein n is an integer of 1-6.
- 5. The method of claim 2, wherein A is -Z, Z being -E-D, wherein E is -R-, or -R-Ar-; and D is -OH, -SH, -NH<sub>2</sub>, -NH<sub>O</sub>H, -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -CONH<sub>2</sub>, -P(OH)<sub>3</sub>, -PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, or -NH<sub>3</sub><sup>+</sup>.
- 6. The method of claim 2, wherein n is an integer of 1-6.
- 7. The method of claim 2 wherein m is an integer of 2-6.
- 8. The method of claim 6, wherein m is an integer of 2-6.
- 9. The method of claim 5, wherein E is -R-; and D is -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -O-PO(OH)<sub>2</sub>, or -O-PO(OH)-O-P $\phi$ (OH)<sub>2</sub>.
- 10. The method of claim 5, wherein m is an integer of 2-6.
- 11. The method of claim 5, wherein n is an integer of 1-6.
- 12. The method of claim 9, wherein E is -C<sub>3</sub>H<sub>6</sub>-; D is -SO<sub>3</sub>H; n is an integer of 1-6; and m is an integer of 2-6.
- 13. The method of claim 12, wherein m is 4.
- 14. The method of claim 13, wherein each of W, X, and K is H.
- 15. The method of claim 3, wherein m is 4.
- 30 16. The method of claim 3, wherein n is an integer of 1-6.

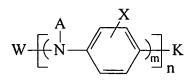
15

20

25



- 17. The method of claim 15, wherein n is an integer of 1-6.
- 18. A pharmaceutical composition for inhibiting the growth of tumor cells, comprising a compound of the following formula:



wherein

m is an integer of 1-6;

n is an integer of 1-10;

each A is -H, -Z, -CH<sub>2</sub>-CO-OH, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, -CH<sub>2</sub>-CO-NH<sub>2</sub>, or

- -CH<sub>2</sub>-CO-NH-Z; and each X is -H, -O-Z, -S-Z, -NH-Z; Z being -E-D, wherein E is -R-,
- -R-Ar-, -Ar-R-, or -Ar-, and D is -OH, -SH, -NH<sub>2</sub>, -NHOH, -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H,
- $-CONH_2$ ,  $-CH(NH_2)-COP_2H$ ,  $-P(OH)_3$ ,  $-PO(OH)_2$ ,  $-O-PO(OH)_2$ ,  $-O-PO(OH)_3$ ,  $-O-PO(OH)_2$ ,  $-O-PO(OH)_3$ ,  $-O-PO(OH)_3$ ,  $-O-PO(OH)_3$ ,  $-O-PO(OH)_4$ ,  $-O-PO(OH)_5$ , -O-PO(OH
- -O-PO(O<sup>-</sup>)-O-CH<sub>2</sub>CH<sub>2</sub>NH<sub>3</sub><sup>+</sup>, -glycoside, -OCH<sub>3</sub>, -OCH<sub>2</sub>(CHOH)<sub>4</sub>-CH<sub>2</sub>OH,
- $-OCH_2(CHOH)_2-CH_2OH$ ,  $-C_6H_3(OH)_2$ ,  $-NH_3^+$ ,  $-N^+H_2R_b$ ,  $-N^+HR_bR_c$ , or  $-N^+R_bR_cR_d$ , each
- of R, R<sub>b</sub>, R<sub>c</sub>, and R<sub>d</sub>, independently, being C<sub>1-30</sub> alkyl; and Ar being aryl;

W is -H, -CO-B, -CH<sub>2</sub>CH(OH)-B, -CO-NH-B, -CS-NH-B, -CO-O-B, CO-CH<sub>2</sub>-CH(CO<sub>2</sub>H)-B, -CH<sub>2</sub>-B, -SO<sub>2</sub>-B, wherein B is -R<sub>1</sub>-O-[Si(CH<sub>3</sub>)<sub>2</sub>-O-]<sub>1-100</sub>, C<sub>1-2000</sub> alkyl, C<sub>6-40</sub> aryl, C<sub>7-60</sub> arylalkyl, (C<sub>1-30</sub> alkyl ether)<sub>1-100</sub>, (C<sub>6-40</sub> aryl ether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, (C<sub>1-30</sub> alkyl thioether)<sub>1-100</sub>, (C<sub>6-40</sub> aryl thioether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl thioether)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ester)<sub>1-100</sub>, (C<sub>7-60</sub> arylalkyl ester)<sub>1-100</sub>, (C<sub>8-70</sub> alkylaryl ester)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>1-30</sub> alkyl ether)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>6-40</sub> aryl ether)<sub>1-100</sub>, -R<sub>1</sub>-CO-O-(C<sub>7-60</sub> arylalkyl ether)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urethane)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urea)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urea)<sub>1-100</sub>, (C<sub>10-80</sub> arylalkyl urea)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl amide)<sub>1-100</sub>, (C<sub>8-70</sub> arylalkyl anhydride)<sub>1-100</sub>, (C<sub>9-60</sub> arylalkyl anhydride)<sub>1-100</sub>, (C<sub>2-30</sub> alkyl carbonate)<sub>1-100</sub>, (C<sub>7-50</sub> arylalkyl anhydride)<sub>1-100</sub>, (C<sub></sub>

15

20

25

5

carbonate)<sub>1-100</sub>,  $(C_{8-60} \text{ alkylaryl carbonate})_{1-100}$ ,  $(C_{8-60} \text{ arylalkyl carbonate})_{1-100}$ ,  $-R_1$ -O-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O $\{(C_{1-30} \text{ alkyl ether}, C_{6-40} \text{ aryl ether}, C_{7-60} \text{ alkylaryl ether},$ or  $C_{7-60}$  arylalkyl ether)<sub>1-100</sub>,  $-R_1 \neq O$ -CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O-( $C_{2-50}$  alkyl ester, C<sub>7-60</sub> aryl ester, C<sub>8-70</sub> alky aryl ester, or C<sub>8-70</sub> arylalkyl ester)<sub>1-100</sub>, -R<sub>1</sub>-O-CO-NH-(R<sub>2</sub>) or Ar-R<sub>2</sub>-Ar)-NH-CO-O-( $C_{1-30}$ /alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$ arylalkyl ether)<sub>1-100</sub>-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-O-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-( $C_{2-50}$  alkyl ester,  $C_{7-60}$  aryl ester,  $C_{8-70}$  alkylaryl ester, or  $C_{8-70}$  arylalkyl ester)<sub>1-100</sub>-R<sub>3</sub>-O-CO-NH-(R<sub>2</sub>) or Ar-R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-NH-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-( $C_{1-30}$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$  arylalkyl ether)<sub>1-100</sub>,  $-R_1$ -NH-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-( $C_{2-50}$  alkyl ester,  $C_{7-60}$  aryl ester,  $C_{8-70}$  alkylaryl ester, or  $C_{8/70}$  arylalkyl ester)<sub>1-100</sub>,  $-R_1$ -NH-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-O-( $C_{1-30}$  alkyl ether,  $C_{6-40}$  aryl ether,  $C_{7-60}$  alkylaryl ether, or  $C_{7-60}$  arylalkyl ether)<sub>1</sub>. <sub>100</sub>-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-NH-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-O- $(C_{2-50} \text{ alkyl ester}, C_{7-60} \text{ aryl ester}, C_{8-70} \text{ alkylaryl ester}, \text{ or } C_{8-70} \text{ arylalkyl ester})_{1-100}-R_3O$ CO-NH-(R<sub>2</sub> or Ar--R<sub>2</sub>-Ar)-NH-CO-O-, -R<sub>1</sub>-O-CO-NH-(R<sub>2</sub> or Ar-R<sub>2</sub>-Ar)-NH-CO-NH- $(C_{2-50} \text{ alkyl amide}, C_{7-1/0} \text{ aryl amide}, C_{8-70} \text{ alkylaryl amide}, \text{ or } C_{8-70} \text{ arylalkyl amide})_{1-100}$ or  $-R_1$ -NH-CO-NH-( $R_2$  or Ar- $R_2$ -Ar)-NH-CO-NH-( $C_{2-50}$  alkyl amide,  $C_{7-60}$  aryl amide,  $C_{8-70}$  alkylaryl amide) or  $C_{8-70}$  arylalkyl amide)<sub>1-100</sub>; wherein each of  $R_1$ ,  $R_2$ , and  $R_3$ , independently, is  $C_1 \not\downarrow_{0}$  alkyl; and Ar is aryl;

K is -H, -[N(X)-C<sub>6</sub>H<sub>4</sub>]<sub>1-3</sub>-NH<sub>2</sub>, -[N(X)-C<sub>6</sub>H<sub>4</sub>]<sub>1-3</sub>-NH-C(=S)-SH, -[N(X)-C<sub>6</sub>H<sub>4</sub>]<sub>1-3</sub>-NH-CO-Ar-SH, wherein X is -H, -Z, -CH<sub>2</sub>-CO-OH, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, -CH<sub>2</sub>-CO-NH<sub>2</sub> or -CH<sub>2</sub>-CO-NH-Z; and Ar is aryl; and a pharmaceutically acceptable carrier

19. The pharmaceutical composition of claim 18, wherein A is -Z, -CH<sub>2</sub>-CO-O-Z, -CH<sub>2</sub>-CO-S-Z, or -CH<sub>2</sub>-CO-NH-Z; E is -R- or -R-Ar-; and D is -OH, -SH, -NH<sub>2</sub>, -NHOH, -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -CONH<sub>2</sub>, -CH(NH<sub>2</sub>)-CO<sub>2</sub>H, -P(OH)<sub>3</sub>, -PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, or -NH<sub>3</sub><sup>+</sup>.

- 20. The pharmaceutical composition of claim 19, wherein A is -Z; E is -R-; and D is -SO<sub>3</sub>H, -OSO<sub>3</sub>H, -CO<sub>2</sub>H, -CH(NH<sub>2</sub>)-CO<sub>2</sub>H, -P(OH)<sub>3</sub>, -PO(OH)<sub>2</sub>, -O-PO(OH)<sub>2</sub>, or -O-PO(OH)-O-PO(OH)<sub>2</sub>.
- 21. The pharmaceutical composition of claim 20, wherein E is -C<sub>3</sub>H<sub>6</sub>-; D is -SO<sub>3</sub>H; n is an integer of 1-6; m is an integer of 2-6; and each of W, X, and K is H.